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Zweigstelle in Den Haag Recherchenabteilung European Patent Office

Branch at The Hague Search division Office européen des brevets

Département à La Haye Division de la recherche

Weiss, Wolfgang, Dipl.-Chem. Dr. Weickmann & Weickmann Patentanwälte Postfach 86 08 20 81635 München ALLEMAGNE

E 4. AFR 2081 / WW/

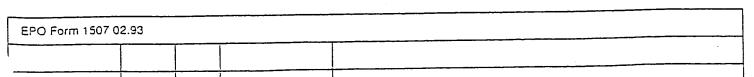
Coatur Cart 3 0. 03. 2001

COMMUNICATION

The Europe	an Patent Office herewith transmits
	the European search report
	the declaration under Rule 45 EPC
	the partial European search report under Rule 45 EPC
\(\sigma\)	the supplementary European search report concerning the international application under Article 157(2) EPC relating to the above-mentioned European patent application. Copies of the documents cited in the search report are enclosed.
The following	ng specifications given by the applicant have been approved by the Search Division:
	Abstract Title Figure
	The abstract was modified by the Search Division and the definitive text is attached to this communication.
	The following figure will be published with the abstract, since the Search Division considers that it better characterises
	the invention than the one indicated by the applicant.
	, Figure:
郊	Additional copy(copies) of the documents cited in the European search recort.
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REFUND OF THE SEARCH FEE

If applicable under Article 10 Rules relating to fees, a separate communication from the Receiving Section on the refund of the search fee will be sent later.





SUPPLEMENTARY PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent Convention EP 98 96 3809 shall be considered, for the purposes of subsequent proceedings, as the European search report

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	DOCUMENTS CONSID	ERED TO BE RELEVANT		
Category	Citation of document with in of relevant passa	ndication, where appropriate, ages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.6)
х	WO 97 30992 A (SQUI 28 August 1997 (199 * page 1, line 1 - * examples 66,184 * * page 1, line 16 -	line 3 *	1-19	C07C275/24 C07D213/02 C07D333/02 A61K31/17 A61K31/38 A61K31/44
P,X	US 5 773 459 A (TAN 30 June 1998 (1998- * column 1, line 1- * column 2, line 23 * examples 3,33-35	06-30) 41 * 3 - line 65 *	1-14	C07D213/36 C07D213/70 C07D277/68 C07D213/68 C07D333/20
X	& WO 96 40673 A (SU 19 December 1996 (1	JGEN INC)	1-14	C07C275/36 C07C275/40 C07C275/28
P,X	EP 0 860 433 A (KIR 26 August 1998 (199 † page 3, line 1 -	98-08-26) line 54 *	1-14	C07C275/30 C07C275/42
X	* examples 43-60,85 & WO 97 17329 A (KC SHIMIZU TOSHIYUKI ((JP); KAT) 15 May 1	DBAYASHI YOSHIKO; (JP); KIRIN BREWERY	1-14	TECHNICAL FIELDS SEARCHED (Int.Ct.6)
		-/		C07D C07C A61K
The s	upplementary search report has b nd available at the start of the sea	peen based on the last set of claims valid trch.		
	MPLETE SEARCH			
not comp be carrie	ly with the EPC to such an extent that d out, or can only be carried out partial	application, or some or all of its claims, does/of a meaningful search into the state of the art ca lly, for the following claims:	la Innot	
Claims s	earched completely :			
Claims s	earched incompletely :			
Claims n	ot searched :			
	or the limitation of the search:			
tre EPC	atment of the human,	9 are directed to a meth /animal body (Article 52 een carried out and base compounds.	(4)	
	Place of search	Date of completion of the search		Examiner
]	BERLIN	2 March 2001	0,	Sullivan, P

EPO FORM 1503 03.82 (P04C20)

CATEGORY OF CITED DOCUMENTS

: particularly relevant if combined with another document of the same category : technological background

X : particularly relevant if taken alone Y : particularly relevant if combined w

O : non-written disclosure P : intermediate document

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T: theory or principle underlying the invention

L: document cited for other reasons

earlier patent document, but published on, or after the filing date
 cocument cited in the application

member of the same patent family, corresponding document



PARTIAL EUROPEAN SEARCH REPORT

Application Number

EP 98 96 3809

	DOCUMENTS CONSIDERED TO BE RELEVANT	CLASSIFICATION OF THE APPLICATION (Int.CI.6)	
ategory	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
	US 6 093 742 A (SALITURO FRANCESCO GERALD ET AL) 25 July 2000 (2000-07-25) * column 1, line 1 - line 62 * * table 1 *	1-14	
			TECHNICAL FIELDS SEARCHED (Int.Cl.6)
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ANNEX TO THE EUROPEAN SEARCH REPORT ON EUROPEAN PATENT APPLICATION NO.

EP 98 96 3809

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

02-03-2001

Pate	ent document in search report		Publication date	Patent family member(s)	Publication date
	730992	A	28-08-1997	AU 718676 B AU 2136697 A BG 102738 A BR 9707614 A CN 1214685 A CZ 9802696 A EP 0892797 A HU 9902016 A JP 2000502356 T LT 98120 A,B LV 12150 B NO 983892 A PL 328868 A US 6011029 A ZA 9701621 A	20-04-2000 10-09-1997 30-09-1999 27-07-1999 21-04-1999 13-10-1999 27-01-1999 28-09-1999 29-02-2000 25-06-1999 20-10-1998 20-12-1998 25-08-1998 01-03-1999 04-01-2000 25-08-1998
US	5773459	Α	30-06-1998	AU 6049396 A WO 9640673 A	30-12-1996 19-12-1996
EP	0860433	Α	26-08-1998	AU 7340096 A US 6143764 A WO 9717329 A	29-05-1997 07-11-2000 15-05-1997
US	6093742	Α	25-07-2000	AU 8377698 A EP 0993441 A WO 9900357 A	19-01-1999 19-04-2000 07-01-1999



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Département à La Hays Division de la recharche

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27. DEZ. 2000 Ed. 13.6.

راء عدروسه فيأسو كالمدافيكية

Datum/Date

2 1 12 2000

Zeichen/Ref./Réf.

22976 EP-W0

Anmeldung Nr./Application No./Demande n°./Patent Nr. /Patent No./Brevet n°.

98963810.1

Anmelder/Applicant/Demandeur/Patentinhaber/Proprietor/Titulaire

Bayer Corporation

COMMUNICATION

	the European search report
	the declaration under Rule 45 EPC
	the partial European search report under Rule 45 EPC
\(\overline{\pi}\)	the supplementary European search report concerning the international application under Article 157(2) EPC relating to the above-mentioned European patent application. Copies of the documents cited in the search report are enclosed.
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	Abstract Title Figure
	The abstract was modified by the Search Division and the definitive text is attached to this communication.
. 🗆	The following figure will be published with the abstract, since the Search Division considers that it better characterises the invention than the one indicated by the applicant.
,	Figure:
•	Additional copy(copies) of the documents cited in the European search report.

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SUPPLEMENTARY PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent ConventionEP 98 96 3810 shall be considered, for the purposes of subsequent proceedings, as the European search report

		oceedings, as the European search		_
	DOCUMENTS CONSID	ERED TO BE RELEVANT		
Category	Citation of document with i of relevant pas	ndication, where appropriate, sages	Relevant to daim	CLASSIFICATION OF THE APPLICATION (Int.CI.6)
E	WO 99 23091 A (BOEF PHARMA) 14 May 1999 see all of the exam * the whole documen) (1999-05-14) mples	1-25	C07D231/38 C07D403/12 C07D409/12 C07D333/36
E	WO 99 32110 A (BAYE 1 July 1999 (1999-0 * the whole documer	7-01)	1-25	C07D307/66 C07D231/40 C07D401/12 C07D405/04
P,Y	WO 98 52559 A (WILD SMITH ROGER A (US); 26 November 1998 (1 * the whole document		1-25	A61K31/415
P,A	WO 98 22103 A (HEDG LTD (GB); BOYLE FRA 28 May 1998 (1998-0 * the whole document	15-28)	1-25	
Υ	WO 96 40673 A (SUGE 19 December 1996 (I * the whole documen	.996-12-19)	1-25	TECHNICAL FIELDS SEARCHED (Int.CI.6) CO7D A61K
The su	ipplementary search report has t	peen based on the last set of claims valle	<u> </u>	
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Claims se	arched incompletely:			
Claims no	t searched :			
Reason to	or the limitation of the search:			
see	sheet C			
	Place of Search	Oste or completion of the segren		
	MUNICH	12 December 2000	507	Examiner
X : partio Y : partio docum A : techn	ATEGORY OF CITED DOCUMENTS cularly relevant if taken alone cularly relevant if combined with another in the same category notogleat background written disclosure	T: theory or principle E: earlier patent doc after the filing dat her D: document ched to L: document ched to	underlying the sument, but publice the application of other reasons	shed on, or

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INCOMPLETE SEARCH SHEET C

Application Number

EP 98 96 3810

Although claims 15-23 are directed to a method of treatment of the human/animal body (Article 52(4) EPC), the search has been carried out and based on the alleged effects of the compound/composition.

Claim(s) searched completely: 3,7,8,17,20,

Claim(s) searched incompletely: 1,2,4-6,9-16,18,19.21-25

Reason for the limitation of the search:

Present claims 1,2,4-6,9-16,18,19,21-25 relate to an extremely large number of possible compounds. Support within the meaning of Article 84 EPC and/or disclosure within the meaning of Article 83 EPC is to be found, however, for only a very small proportion of the compoundsclaimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds of the examples, and those according to claim 3 wherein B is a defined group.

ANNEX TO THE EUROPEAN SEARCH REPORT ON EUROPEAN PATENT APPLICATION NO.

EP 98 96 3810

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on The European Patent Office is in no way liable for these particulars which are merely given for the purpose of Information.

Patent documen cited in search rep		Publication date		Patent family member(s)	Publication date
WO 9923091	А	14-05-1999	AU EP	1367599 A 1028953 A	24-05-1999 23-08-2000
WO 9932110	Α	01-07-1999	AU EP	1997099 A 1043995 A	12-07-1999 18-10-2000
WO 9852559	Α	26 - 11-199 <u>8</u>	AU EP	7585598 A 0986382 A	11-12-1998 22-03-2000
WO 9822103	Α	28-05-1998	AU EP NO	4956297 A 0941084 A 992336 A	10-06-1998 15-09-1999 14-05-1999
WO 9640673	Α	19-12-1996	US AU	5773459 A 6049396 A	30-06-1998 30-12-1996



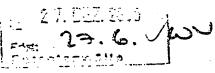
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Département à La Haye Division de la recherche

Weiss, Wolfgang, Dipl.-Chem. Dr. Weickmann & Weickmann Patentanwälte Postfach 86 08 20 81635 München ALLEMAGNE



1) WW 1) Ka

Datum/Date

2 1 12. 2000

Zeichen/Ref./Réf. 22970PEPW0/WWhh	Anmeldung Nr./Application No./Dem 98965981.8	nande n° /Patent Nr /Pate	nt No/Brevet n°.	
Anmelder/Applicant/Demandeur/Patentinhaber/Proprietor/Titulaire Bayer Corporation		· · · · · · · · · · · · · · · · · · ·	·	

COMMUNICATION

		an Patent Office herewith trans		
		the European search report		
		the declaration under Rule 45	S EPC	
		the partial European search r	eport under Rule 45 EPC	
	X	the supplementary European	search report concerning the	international application under Article 157(2) EPC
				on. Copies of the documents cited in the search report are
		enclosed.		
The f	ollowir	ng specifications given by the a	pplicant have been approved l	by the Search Division :
		Abstract	☐ Title	Figure
				Figure efinitive text is attached to this communication.
		The abstract was modified by	the Search Division and the d	
		The abstract was modified by	the Search Division and the di	efinitive text is attached to this communication.
		The abstract was modified by The following figure will be put	the Search Division and the di	efinitive text is attached to this communication.
		The abstract was modified by The following figure will be put the invention than the one indi	the Search Division and the di	efinitive text is attached to this communication. e the Search Division considers that it better characterises

REFUND OF THE SEARCH FEE

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SUPPLEMENTARY PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent ConventionEP 98 96 5981 shall be considered, for the purposes of subsequent proceedings, as the European search report

	Citation of document with indi	cation, where appropriate	Relevant	CLASSIFICATION OF THE
Category	of relevant passag	· · · · · · · · · · · · · · · · · · ·	to claim	APPLICATION (Int.CI.6)
X	BOULTON, A. J. ET AL: rearrangements. X. Ge rearrangement" J. CHEM. SOC. C (1967 XP000943551 see compound xix	eneralized monocyclic	37	A61K31/425 A61K31/44 A61K31/47 C07D215/20 C07D231/40 C07D413/12 C07D261/14
X	RUSSO, F. ET AL: "Sy 2,6-substituted deriv 5H-1,3,4-thiadiazolo triazine-5,7-dione" FARMACO, ED. SCI. (19 XP000943466 see compounds IIa-f	atives of	55	C07D417/12 C07D401/12 C07D285/135 C07D333/36 C07D409/12
Х	FOUSSARD-BLANPIN, ODE pharmacodynamic study substituted carboxam nervous system" ANN. PHARM. FR. (1982)	of variously ides o the central	37	
	XP000943598 see compound 884	2), 40(4), 339-30 ,		TECHNICAL FIELDS SEARCHED (Int.Cl.6)
	•	 -/		CO7D A61K
The su	ipplementary search report has bee d available at the start of the search	n based on the last set of claims vali	id	
INCO	MPLETE SEARCH			
not compl be carried Claims se	ch Division considers that the present ap y with the EPC to such an extent that a r I out, or can only be carried out partially, arched completely:	plication, or some or all of its claims, does neaningful search into the state of the art for the following claims:	vdo cannot	
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Ciaims no	of searched:			
	or the limitation of the search:		·	
		Date of complete of the	· · · · · · · · · · · · · · · · · · ·	Supremer
	Place of search MUNICH	Date of completion of the search 12 December 2000	Scr	ruton-Evans, I
X : part Y : part docu	ATEGORY OF CITED DOCUMENTS icularly relevant if taken alone icularly relevant if combined with another ument of the same category inological background	L : document cited (ocument, but pub ate in the application for other reasons	lished on, or
	-written disclosure			ly, corresponding

EP 98 96 5981

	DOCUMENTS CONSIDERED TO BE RELEVANT		CLASSIFICATION OF THE APPLICATION (InLC1.6)
ategory	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
(WHITE, ANDREW D. ET AL: "Heterocyclic Ureas: Inhibitors of Acyl-CoA:Cholesterol O-Acyltransferase as Hypocholesterolemic Agents" J. MED. CHEM. (1996), 39(22), 4382-4395, XP002918005 see compounds 14a-c and 30d	43,55	
(GRANT, A. M. ET AL: "Hypotensive thiadiazoles" J. MED. CHEM. (1972), 15(10), 1082-4, XP000944187 see compound 27	55	
X	KUBO, HIROSHI ET AL: "Herbicidal activity of 1,3,4-thiadiazole derivatives" J. AGR. FOOD CHEM. (1970), 18(1), 60-5, XP000943800 see Type II Table II	55	TECHNICAL FIELDS SEARCHED (Int.Cl.6)
X	WO 97 40028 A (VERTEX PHARMACEUTICALS, INCORPORATED, USA) 30 October 1997 (1997-10-30) see compound 31, page 23 and compounds 85 and 86, page 24	49,66	
Ε	WO 99 32111 A (BAYER CORPORATION, USA) 1 July 1999 (1999-07-01) * the whole document *	1-77	
E [* ,	WO 99 32455 A (BAYER CORPORATION, USA) 1 July 1999 (1999-07-01) * the whole document *	1-77	
E	WO 99 24398 A (ZENECA LIMITED, UK) 20 May 1999 (1999-05-20) see example 29,52 and 59 starting material	37,55,6	
	-/		

EP 98 96 5981

	DOCUMENTS CONSIDERED TO BE RELEVANT		CLASSIFICATION OF THE APPLICATION (INLCI.6)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
P,X	US 5 814 646 A (HEINZ, LAWRENCE J. ET AL) 29 September 1998 (1998-09-29) see compound 234,237,236,238,240,242, column 15	37,43,55	
Y	WO 94 14801 A (SMITHKLINE BEECHAM PLC, UK) 7 July 1994 (1994-07-07) * the whole document *	1-77	
Y	WO 93 18028 A (SMITHKLINE BEECHAM PLC, UK) 16 September 1993 (1993-09-16) * the whole document *	1-77	
Y X	US 5 162 360 A (CRESWELL, MARK W. ET AL) 10 November 1992 (1992-11-10) see examples 9-20, column 20, (w)	1-77 31,37,43	TECHNICAL FIELDS SEARCHED (Int.Cl.6)
X Y	EP 0 371 876 A (NOVAPHARME S.A R.L., FR.) 6 June 1990 (1990-06-06) see example 27	37 1-77	
x	US 4 042 372 A (HARPER, RICHARD W.) 16 August 1977 (1977-08-16) see examples 5 and 9	55	
X	US 3 990 879 A (SOPER, QUENTIN F.) 9 November 1976 (1976-11-09) * the whole document *	55	
X	DE 24 36 179 A (SHIONOGI AND CO., LTD) 6 February 1975 (1975-02-06) see examples and general formula	37	
X	EP 0 242 666 A (BASF AG) 28 October 1987 (1987-10-28) see examples	55	
	-/		
	·		

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EPO FORM 1503 03.82 (P04C10)

PARTIAL EUROPEAN SEARCH REPORT

Application Number

EP 98 96 5981

	DOCUMENTS CONSIDERED TO BE RELEVANT		CLASSIFICATION OF THE APPLICATION (InlCi.6)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
Х	US 5 185 358 A (CRESWELL MARK W ET AL) 9 February 1993 (1993-02-09) see column 3, lines 5-10	55	••
X	FORBES, IAN T. ET AL: "N-(1-Methyl-5-indolyl)-N'-(3-methyl-5-isothiazolyl)urea: A Novel, High-Affinity 5-HT2B Receptor Antagonist" J. MED. CHEM. (1995), 38(6), 855-7, XP000941493 see compound 4,5	43	
Y	WO 96 40673 A (SUGEN INC) 19 December 1996 (1996-12-19) * the whole document *	1-77	TECHNICAL FIELDS SEARCHED (Int.Cl.5)
Ρ,Χ	WO 98 52559 A (WILD HANNO ; LEE WENDY (US); SMITH ROGER A (US); WOOD JILL E (US);) 26 November 1998 (1998-11-26) * the whole document *	1-77	
P,Y	WO 98 22103 A (HEDGE PHILIP JOHN ; ZENECA LTD (GB); BOYLE FRANCIS THOMAS (GB)) 28 May 1998 (1998-05-28) * the whole document *	1-77	

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

	Patent document ed in search repo		Publication date		Patent family member(s)		Publication date
WO	9740028	Α	30-10-1997	US	5807876	A	15-09-19
		• •		US	6054472		25-04-2
				AP		A	28-02-2
				AU	723730	В	07-09-2
				AU	2678597	Ä	12-11-1
				BG	102945	Α	31-08-1
				BR		A	03-08-1
				CN	1219929	Α	16-06-1
•				CZ		Α	17-02-1
				EP	0902782		24-03-1
				NO	984917		23-12-1
				PL	329639	A	12-04-1
				SK	146198		12-07-1
WO	9932111	Α	01-07-1999	AU	1997199		12-07-1
				EP	1041982	A 	11-10-2
WO	9932455	Α	01-07-1999	ΑU	1905599	A	12-07-1
				ΕP	1056725		06-12-2
	,			NO	20003231		22-08-2
WO	9924398	Α	20-05-1999	AU	1042099	Α	31-05-1
				EP	1030835		30-08-2
				NO	20002158		11-07-2
				ZA 	9810330	A.	12-05-1
US	5814646	Α	29-09-1998	NONE			
WO	9414801	Α	07-07-1994	NONE	: 		
WO	9318028	Α	16-09-1993	AU	3641193		05-10-1
				EP	0630373		28-12-1
	•			JP	7504429	Ţ	18-05-1
				MX		Α	01-10-1
				us	5508288		16-04-1
				ZA	9301713 	A	22-09-1
US	5162360	Α	10-11-1992	NONE		•	
EP	0371876	Α	06-06-1990	FR	2639636		01-06-1
				AT	97664		15-12-1
				DE .		D	05-01-1
				DE		Ţ	19-05-1
				US	5464860		07-11-1
				US	5059614		22-10-1
				US	5258397	Α	02-11-1

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EP 98 96 5981

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Patent document cited in search report			Publication date				Publication date	
US	4042372	Α	16-08-1977		E	860840	Α .	16-05-19
				В	R	7707675	Α	13-06-19
				D	Ε	2751437	Α	24-05-19
				F	R	2371453	Α	16-06-19
			•	G		1587938		15-04-19
				I		1113688		20-01-19
				J		53065893		12-06-19
				N		7712601		23-05-19
US	3990879	Α	09-11-1976	N	ONE			
DE	2436179	 А	06-02-1975	J	——— Р	50031039	Α	27-03-19
•		-		Α		214854		15-08-19
				· A		7166174		29-01-19
				В		818161		18-11-19
				Č		1034950		18-07-19
				Č		588810		15-06-19
				Ď		253878		07-06-19
				D		403674	A,B,	01-04-19
				· F		2245645	Α, Β,	25-04-19
				G		1471743		27-04-19
				I		1050528	В	10-03-19
	•			M		5574	E	19-10-19
				· N		7410205	A,B,	29-01-19
				, P		10998		20-10-19
				S		424864		16-08-19
				S		7409654	A.	28-01-19
			•	Ü		4062861	A.	13-12-19
				Ü			A	26-09-19
				Ū		4111680	A	05-09-19
			•	. Ü		4212981		15-07-19
		•	•	Ü		4212901		
							A	06-10-19
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ΕP	0242666	Α	28-10-1987	D		3612830		22-10-19
				A		65162		15-08-19
				Al		601177		06-09-19
		4		A		7155887		22-10-19
				I		82137		31-01-19
				J		62249903		30-10-19
			•	U:		4921525		01-05-19
	*			Z/		8702693 	A 	28-12-19
US	5185358	Α	09-02-1993	N(NE	·		
WO	9640673	Α	19-12-1996	U:	5	5773459	A	30-06-199

ANNEX TO THE EUROPEAN SEARCH REPORT ON EUROPEAN PATENT APPLICATION NO.

EP 98 96 5981

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

Patent document cited in search repo	rt	Publication date		Patent family member(s)	Publication date
WO 9640673	A		AU	6049396 A	30-12-1996
WO 9852559	Α	26-11-1998	AU EP	7585598 A 0986382 A	11-12-1998 22-03-2000
WO 9822103	Α	28-05-1998	AU EP NO	4956297 A 0941084 A 992336 A	10-06-1998 15-09-1999 14-05-1999



Europäisches Patentamt

Zweigstelle in Den Haag Recherchen-

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Département à La Haye Division de la recherche

Weiss, Wolfgang, Dipl.-Chem. Dr. Weickmann & Weickmann Patentanwälte Postfach 86 08 20 81635 München ALLEMAGNE

Weickmann & Weickmann

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Datum/Date

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Zeichen/Ref./Ref.

25679P EP-WO

Anmeldung Nr./Application No./Demande n°./Patent Nr./Patent No./Brevet n°. 00903239 . 2-2103-US0000648

Anmelder/Applicant/Demandeur/Patentinhaber/Proprietor/Titulaire Bayer Corporation

COMMUNICATION

The European Patent Office herewith transmits as an enclosure the European search report for the above-mentioned European patent application.

If applicable, copies of the documents cited in the European search report are attached.

Additional set(s) of copies of the documents cited in the European search report is (are) enclosed as well.

The following specifications given by the applicant have been approved by the Search Division:

X abstract

X title

The abstract was modified by the Search Division and the definitive text is attached to this communication.

The following figure will be published together with the abstract:

NONE

REFUND OF THE SEARCH FEE

If applicable under Article 10 Rules relating to fees, a separate communication from the Receiving Section on the refund of the search fee will be sent later.





SUPPLEMENTARY PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent ConventionEP 00 90 3239 shall be considered, for the purposes of subsequent proceedings, as the European search report

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*abstract; columns 1	5 and the examples;			
5 September 1995 (19	195-09-05)			
US 5 447 957 A (ADAM	IS, JERRY L. ET AL)	1-59,61	1	
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nucleophilic additio	n or pyrrollaine to		C07C275/20	
RAPOSO, CESAR ET AL:	"Catalysis of	1-59,61		
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Claims, abstract an compounds n o p an	d examples 1-17		A61K31/54	
USA) 29 April 1999 (1999-04-29) d in particular			
WO 99 20617 A (PHARM	ACIA & UPJOHN COMPANY,	1-59,61		
Citation of document with ind	ication, where appropriate,	Relevant		
	Citation of document with ind of relevant passact WO 99 20617 A (PHARM USA) 29 April 1999 (*claims, abstract an compounds n, o, p an RAPOSO, CESAR ET AL: nucleophilic addition 2-(5H)-furanone through cleft-type receptors TETRAHEDRON LETT. (16947-6950, XP002205797 *abstract and compounds to the search of the search particular, the continues 10-19, 23-25, examples 2,4,5 and 60 particular and completely: Applementary search report has been available at the start of the search power of the search of the search of the searched completely: Bearched incompletely: Bearched incompletely: Bearched completely:	WSA) 29 April 1999 (1999-04-29) *claims, abstract and in particular, compounds n, o, p and examples 1-17* RAPOSO, CESAR ET AL: "Catalysis of nucleophilic addition of pyrrolidine to 2-(5H)-furanone through chromenone cleft-type receptors" TETRAHEDRON LETT. (1996), 37(38), 6947-6950, XP002205797 *abstract and compounds 9 and 10* US 5 447 957 A (ADAMS, JERRY L. ET AL) 5 September 1995 (1995-09-05) *abstract; columns 1-5 and the examples; in particular, the compounds in column 7, lines 10-19, 23-25, 29-32, 36 and 37 and examples 2,4,5 and 6 **PLETE SEARCH** Ch Division considers that the present application, or some or all of its claims, doe by with the EPC to such an extent that a meaningful search into the state of the ard four, or can only be carried out partially, for the following claims: **Barched incompletely:** **Barched incompletely:** **Barched incompletely:**	Citation of document with indication, where appropriate, of relevant passages WO 99 20617 A (PHARMACIA & UPJOHN COMPANY, USA) 29 April 1999 (1999–04–29) *claims, abstract and in particular, compounds n, o, p and examples 1–17* RAPOSO, CESAR ET AL: "Catalysis of nucleophilic addition of pyrrolidine to 2–(5H)-furanone through chromenone cleft-type receptors" TETRAHEDRON LETT. (1996), 37(38), 6947–6950, XP002205797 *abstract and compounds 9 and 10* US 5 447 957 A (ADAMS, JERRY L. ET AL) 5 September 1995 (1995–09–05) *abstract; columns 1–5 and the examples; in particular, the compounds in column 7, lines 10–19, 23–25, 29–32, 36 and 37 and examples 2,4,5 and 6 ———————————————————————————————————	Citation of document with indication, where appropriate, of relevant passages WO 99 20617 A (PHARMACIA & UPJOHN COMPANY, 1-59,61 USA) 29 April 1999 (1999-04-29) **claims, abstract and in particular, compounds n, o, p and examples 1-17* RAPOSO, CESAR ET AL: "Catalysis of nucleophilic addition of pyrrolidine to 2-(5H)-furanone through chromenone cleft-type receptors" TETRAHEDRON LETT. (1996), 37(38), 6947-6950, XP002205797 **abstract and compounds 9 and 10* US 5 447 957 A (ADAMS, JERRY L. ET AL) 5 September 1995 (1995-09-05) **abstract; columns 1-5 and the examples; in particular, the compounds in column 7, lines 10-19, 23-25, 29-32, 36 and 37 and examples 2,4,5 and 6 / **TECHNICAL FIE SEARCHED** TECHNICAL FIE SEARCHED** CO7C CO7D A61K **TECHNICAL FIE SEARCHED** TECHNICAL FIE SEARCHED** CO7C CO7D A61K **TECHNICAL FIE SEARCHED** TECHNICAL FIE SEARCHED** CO7C CO7D A61K **TECHNICAL FIE SEARCHED** TECHNICAL FIE SEARCHED** CO7C CO7D A61K **TECHNICAL FIE SEARCHED** TECHNICAL FIE SEARCHED** CO7C CO7D A61K **TECHNICAL FIE SEARCHED** TECHNICAL FIE SEARCHED** TO 7C TO 7C

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CATEGORY OF CITED DOCUMENTS particularly relevant if taken alone
 particularly relevant if combined with another document of the same category

A: technological background O: non-written disclosure P: intermediate document

T: theory or principle underlying the invention
E: earlier patient document, but published on, or
after the filing date
D: document cited in the application

L: document cited for other reasons

& : member of the same patent family, corresponding document

PARTIAL EUROPEAN SEARCH REPORT

Application Number

EP 00 90 3239

	DOCUMENTS CONSIDERED TO BE RELEVANT	CLASSIFICATION OF THE APPLICATION (IntCI.7)	
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
X	US 4 973 675 A (ISRAEL, MERVYN ET AL) 27 November 1990 (1990-11-27) *abstract; columns 1 and 2 and in table 1, the urea derivative AD 334*	1-67	
X	DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; YAGI, TOSHIHIKO ET AL: "Silver halide photographic material containing phenolic cyan coupler and colorless cyan coupler" retrieved from STN	1-59,61	
	Database accession no. 113:142130 XP002205798 *in particular compound with rn:129093-98-7* * abstract * & JP 02 023337 A (KONICA CO., JAPAN) 25 January 1990 (1990-01-25)		TECHNICAL FIELDS SEARCHED (Int.CI.7)
X	DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; MIZUKURA, NOBORU ET AL: "Silver halide color photographic material containing a cyan coupler of 2-ureido-phenol type to improve dye developability and remove leuco cyan dye" retrieved from STN Database accession no. 113:106314 XP002205799	1-59,61	
•	*in particular, compound with rn:129093-98-7* * abstract * & JP 02 022650 A (KONICA CO., JAPAN) 25 January 1990 (1990-01-25)		



PARTIAL EUROPEAN SEARCH REPORT

Application Number

EP 00 90 3239

	DOCUMENTS CONSIDERED TO BE RELEVANT	CLASSIFICATION OF THE APPLICATION (IntCL7)	
ategory	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	,
	DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; JEFFCOAT, A. ROBERT ET AL: "The metabolism and toxicity of halogenated carbanilides. Biliary metabolites of 3,4,4'-trichlorocarbanilide and 3-trifluoromethyl-4,4'-	1-59,61	
	dichlorocarbanilide in the rat" retrieved from STN Database accession no. 87:62295 XP002202286 * abstract * & DRUG METAB. DISPOS. (1977), 5(2), 157-66		TECHNICAL FIELDS SEARCHED (Int.Cl.7)
	compounds with rn:63348-38-9 and 63348-30-1		(indicate)
Χ .	WO 99 32463 A (BAYER CORPORATION) 1 July 1999 (1999-07-01) * page 1 - page 5; claims 1-21; tables 1-5 *	1-67	
X	WO 95 33458 A (SMITHKLINE BEECHMAN CORPORATION) 14 December 1995 (1995-12-14) * page 1 - page 4; claims 1-13 *	1-67	
A	WO 00 41698 A (BAYER CORPORATION) 20 July 2000 (2000-07-20) * page 1 - page 8; claims 1-37; tables 1-7 *	1-67	·
		. :	

INCOMPLETE SEARCH SHEET C

EP 00 90 3239

Although claims 62-67 are directed to a method of treatment of the human/animal body (Article 52(4) EPC), the search has been carried out in the extent mentioned below and based on the alleged effects of the compound/composition.

Claim(s) searched completely: 60,61,66 and 67

Claim(s) searched incompletely: 1-59 and 62-65

Reason for the limitation of the search:

Present claims 1-59 and 62-65 relate to an extremely large number of possible compounds, compositions and methods of treatment using these compounds. In fact, the claims contain so many options that lack of clarity (and conciseness), lack of support in the description and insufficiently disclosure (Art. 83 and 84 EPC) arise to such an extent as to render a meaningful search of the claims impossible. The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. Some of the documents retrieved are mentioned in the search report. Therefore, a meaningful search over the whole breath of the claims is impossible.

Consequently, the search has been carried out for those parts of the application which do appear to be clear and supported in the description, namely the examples in tables 1-7. The search has been carried out for compounds of the general formula (I) with B= phenyl moiety substituted in meta by t-Bu or CF3; A= Cy-L-Cy-G1, wherein Cy=cyclic moiety, L= linker of up to 20 atoms and G1=SO2Rx, C(O)Rx or C(NRy)Rz.

ANNEX TO THE EUROPEAN SEARCH REPORT ON EUROPEAN PATENT APPLICATION NO.

EP 00 90 3239

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

12-07-2002

	Patent documer cited in search rep		Publication date		Patent family member(s)	Publication date
WO	9920617	A	29-04-1999	AU WO	9802198 A 9920617 A1	10-05-1999 29-04-1999
us	5447957	Α	05-09-1995	WO	9533460 A1	14-12-1995
us	4973675	Α	27-11-1990	NONE		
JP	2023337	Α	25-01-1990	NONE		
JP	2022650	Α	25-01-1990	NONE		
WO	9932463	A	01-07-1999	AU CA DE EP ES JP WO	1939999 A 2315715 A1 1042305 T1 1042305 A1 2154252 T1 2001526276 T 9932463 A1	12-07-1999 01-07-1999 19-04-2001 11-10-2000 01-04-2001 18-12-2001 01-07-1999
WO	9533458	Α	14-12-1995	WO	9533458 A1	14-12-1995
WO	0041698	Α	20-07-2000	AU EP WO US	2725000 A 1158985 A1 0041698 A1 2002065296 A1	01-08-2000 05-12-2001 20-07-2000 30-05-2002

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C. DOCUM	ENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appr	opnate, of the relevant passages	Relevant to claim No.
so d] C	ARZIA, G. et al. Synthesis and ant ome pyrrolo(1H,3H)[3,4]pyrimidin-2-o pyrimidin-2-ones and pyrrolo(1H,6) hemical Abstracts. 27 August 1979, 94.	nes and pyrrolo(1H,3H)[3,4- 5H)[3,4-d]pyrimidin-2-ones.	1-16
Further d	documents are listed in the continuation of Box C.	See patent family annex.	
*A" docume to be of *E" earlier of	categories of cited documents: ent defining the general state of the art which is not considered of particular relevance document published on or after the international filing date ent which may throw doubts on priority claim(s) or which is o establish the publication date of another citation or other	"Y" Inter document published after the in date and not in conflict with the appearance of the principle or theory underlying it document of particular raisvance; to considered novel or cannot be considered novel or cannot be considered to the document is taken alone "Y" document of particular relevance; to	plication but cited to understand ne invention he claimed invention cannot be leted to involve an inventive step
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Name and mail Commissioner Box PCT Washington, D	ling address of the ISA/US of Patents and Trademarks	Authorized officer THEODORE J. CRIARES Telephone No. (703) 308-1235	JaBar
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U.S. : 5	514/363, 371, 407, 415, 422, 426, 427, 438, 444, 447, 4	448; 548/128, 196, 365.7, 491, 527, 532;	549/59, 69, 71; 564/48
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C. DOC	UMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where ap	propriate, of the relevant passages	Relevant to claim No.
Y	WO 96/40673 A1 (SUGEN INC) 19 D 1-14, 16-19; page 88, lines 1-17.	1-17	
Y	Database CA on STN, EP 676395 A2 No. 124:86809, KLEEMANN et al., thienylcarbonyl)guanidines as sodium-lantiarrhythmic agents, and cell proli Hanno Wild, 17 July 1996.	1-14	
A	US 5,597,719 A (FREED et al.) 28 Ja	nuary 1997, entire document.	1-17
Furth	er documents are listed in the continuation of Box C	See patent family annex.	
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- 1	Citation of document, with indication, where app	propriate of the relevant passages	Relevant to claim No.
Category*	Citation of document, with indication, where app	propriate, or the relevant passages	
Α	Chem. abstr., Vol.116, No. 21, 25 1	May 1992 (Columbus, OH,	1-4
	USA), pages 741-742, column 2, t KUJUNDZIC, N. 'Synthesis	the abstract No. 21443or,	
	tetrahydropyrido[3,4-d]pyrimidine-2,4-	diones, 'Croat, Chem, Acta,	
	1991, 64(4), 599-606 (Eng).		
A	US 3,754,887 A (BRANTLEY) 28	August 1973, see entire	31-36
	document, especially column 1.		
A	WHITE et al. Heterocyclic Ure CoA: Cholesterol O-Acyltransferase as l	eas: Inhibitors of Acyl-	1-4, 37-48, 55-60
	J. Med. Chem. 25 October 1996, Vo	ol. 39, No. 22, pages 4382-	·
	4395, especially pages 4387-4389.		
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	on). DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Category*	Citation of document, with a second	
	US 4,183,854 A (CROSSLEY) 15 January 1980, see entire	1-4
•	document, especially column 1.	
X	WO 96/25157 A1 (SMITHKLINE BEECHAM CORPORATION)	49-54, 61-65, 74,
^	22 August 1996, see entire document, especially pages 16-17.	
χ .	WO 97/40028 A1 (VERTEX PHARMACEUTICALS	66-70, 77
^	1 - 1 - 2 - 2 - 2 - 2 - 2 - 2 - 2 - 2 -	
	especially pages 11, 12, 16, 22 and 24, compound 85.	
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C. DOC	CUMENTS CONSIDERED TO BE RELEVANT			
Category*	Citation of document, with indication, where a	ppropriate, of the relev	vant passages	Relevant to claim No.
Α	US 5,319,099 A (KAMATA et al.) document.	07 June 1994.	See entire	1-16
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	rched: arthritis, furyl, isoxazolyl, pyridine.		
C. DOC	CUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where ap	propriate, of the relevant passages	Relevant to claim No.
A	US 5,319,099 A (KAMATA et al.)	07 June 1994 see entire	1-41
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Washingu	on, D.C. 20231	THEODORE I. CRIARES Y	
Facsimile	No. (703) 305-3230	Telephone No. (703) 308-1235	•

IPC(6) :C	SIFICATION OF SUBJECT MATTER 207C 275/24 C07D 213/02, 333/02; A61K 31/17, 31 14/352, 438, 482; 546/309; 549/29; 564/47 International Patent Classification (IPC) or to both na		
B. FIELD	S SEARCHED		
	cumentation searched (classification system followed	by classification symbols)	
	14/352, 438, 482; 546/309; 549/29; 564/47		
Documentation	on searched other than minimum documentation to the e	xtent that such documents are included in	n the fields searched
NONE			
	ta base consulted during the international search (name puter SEARCH 1966-TO DATE	ne of data base and, where practicable,	search terms used)
C. DOC	JMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where app	propriate, of the relevant passages	Relevant to claim No.
X	US 5,429,918 A (SETO et al) 04 July	1995, column 4, compound	1-7
Y	(A-14).		1-7
x	US 5,470,882 A (DIXON et al) 28	November 1995, see entire	1-9, 13 and 14
	document.		1-9, 13 and 14
Y			
x	WO 96/25157 A1 (SMITHKLINE BEE	CHAM CORPORATION) 22	1-19
Υ	August 1996, see entire document.		1-19
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Furt	her documents are listed in the continuation of Box C	. See patent family annex.	
	necial categories of cited documents:	*T* later document published after the int date and not in conflict with the app the principle or theory underlying th	fication off cired to mineranting
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· m	cans comment published prior to the international filing date but later than	being obvious to a person skilled in document member of the same pater	
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	CH 1999	02 APR 1999	
Name and Commissi Box PCT	mailing address of the ISA/US oner of Patents and Trademarks on, D.C. 20231	Authorized officer ZINNA N. DAVIS Telephone No. (703) 308-1235	B

	SIFICATION OF SUBJECT MATTER 107D 231/38, 403/12, 409/12, 333/36, 307/66 48/368.4, 364.7; 549/59, 549/69, 549/473, 549/480 International Patent Classification (IPC) or to both nation	onal classifi	ication and IPC	
21.57.10	S SEADCHED			
Minimum do	cumentation searched (classification system followed by	classificati	ion symbols)	
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Documentation	on searched other than minimum documentation to the ext	tent that suc	h documents are included i	n the fields searched
Electronic da	nta base consulted during the international search (name	of data ba	se and, where practicable,	search terms used)
C. DOC	UMENTS CONSIDERED TO BE RELEVANT			
	Citation of document, with indication, where appro-	opriate, of t	he relevant passages	Relevant to claim No.
X - Y	US 5,162,360 A (CRESWELL et al.) I lines 1-67, col. 3, lines 1-50, examples	0 Nove		1-8, 24-25 9-23
			·	
	rther documents are listed in the continuation of Box C. Special categories of cited documents: document defining the general state of the art which is not considered	•T• 1	date and not in conflict with the a the principle or theory underlying	
.e.	earlier document published on or after the international filing date document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) document referring to an oral disclosure, use, exhibition or other means	• Y• ·	considered novel or cannot be cons when the document is taken alone document of particular relevance	the claimed invention cannot be tive step when the document is such documents, such combination in the art
į	document published prior to the international filing date but later than the priority date claimed the actual completion of the international search	Date of r	nailing of the international	
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International application No.

PCT/US98/27265

IPC(6) US CL	ASSIFICATION OF SUBJECT MATTER :C07D 273/00, 275/00; A61K 31/17 :564/52, 53, 54, 55; 514/596, 598 to International Patent Classification (IPC) or to be		
	LDS SEARCHED	oth national classification and IPC	·
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U.S. :	564/52, 53, 54, 55; 514/596, 598		
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C. DOC	UMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where	appropriate, of the relevant passages	Relevant to claim No.
Y	US 3,151,023 A (MARTIN) 29 document.	September 1964, see entire	1-22
Y	US 3,200,035 A (MARTIN ET AL document.) 10 August 1965, see entire	14-22
X	US 3,230,141 (FRICK ET AL.) document.	18 January 1966, see entire	14-22
x	US 4,405,644 A (KABBE ET AL.) document.	20 September 1983, see entire	1-22
Y	GB 0,828,231 A (J.R. GEIGY A.G. document.) 17 February 1960, see entire	14-22
Furthe	r documents are listed in the continuation of Box (C. See patent family annex.	
docu	ial categories of cited documents: ment defining the general state of the art which is not considered of particular relevance	"T" later document published after the inter date and not in conflict with the applie the principle or theory underlying the i	ation but cited to understand
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US CL: Please See Extra Sheet. According to International Patent Classification (IPC) or to both national classification and IPC				
	documentation searched (classification system follow	ad has almost Constant and Laboratory		
U.S. :	546/288, 289, 291, 300; 514/183, 222.2, 228.8, 58	8, 595, 597, 598; 564/49, 50, 52,		
Documenta	tion searched other than minimum documentation to the	he extent that such documents are included	in the fields searched	
Electronic d	data base consulted during the international search (n	name of data base and, where practicable.	search terms used)	
CAS ON	LINE, REGISTRY, HCAPLUS rms: cancer, raf kinase			
C. DOC	UMENTS CONSIDERED TO BE RELEVANT	······································		
Category*	Citation of document, with indication, where a	name of the selection	D	
			Relevant to claim No.	
Y	WO 98/52558 A1 (BAYER CORPOR (26.11.98) especially Tables 1-4, pages	(ATION) 26 November 1998, ges 65-76.	1-67	
Y	WO 98/52559 A1 (BAYER CORPORATIONI) 26 November 1998 1-67 (26.11.98), especially Tables 1-4, pages 15-17.			
Y,P	Database HCAPLUS, DN 131:87909, DUMAS et al. 'Inhibition of P38 kinase activity using substituted heterocyclic ureas.' Abstract, WO 99/32111, 01 July 1999, see entire document.			
Y,P	Database HCAPLUS, DN 131:73649, pyrazolyl aryl ureas and related inhibitors.' Abstract, WO 99/32110 document.	compounds as P38 kinase	1-67	
X Furthe	er documents are listed in the continuation of Box C	See patent family annex.	·	
'A" doc	cial categories of cited documents: ument defining the general state of the art which is not considered the of particular relevance	"T" later document published after the inte- date and not in conflict with the applica principle or theory underlying the inve	tion but cited to understand the	
	ier document published on or after the international filing date	"X" document of particular relevance; the	claimed invention cannot be	
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spec	cial reason (as specified)	"Y" document of particular relevance; the considered to involve an inventive	claimed invention cannot be step when the document is	
	ument referring to an oral disclosure, use, exhibition or other means	combined with one or more other such being obvious to a person skilled in th		
document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family				
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Category*	Citation of document, with indication, where appropriate, of the relevant passages	Pelevon
	Gradion of Goddinant, who appropriate, of the relevant passages	Relevant to claim No
X	Database HCAPLUS, DN 127:293717, KURIK M.V. et al. 'Optical properties of segmented oligourethane with azomethine terminal fragments.' Abstract, Vysokomol. Soedin. 1996, Vol. 38, No. 12, pages 2038-2041, especially RN# 197149-54-5.	1-48,60 and 61
X	Database HCAPLUS, DN 127:34137, KUBO et al. 'Preparation of quinoline and quinazoline derivatives inhibiting platelet-derived growth factor receptor autophosphorylation.' Abstract, WO 97/17329. 15 May 1997, see entire document, especially RN # 190726-87-5, 190726-88-6, 190727-39-0, 190727-43-6.	1-67
X	Database HCAPLUS, DN 126:166148, WINKLER et al. 'Inhibitors of coenzyme A-independent transacylase induce apoptosis in human HL-60 cells.' Journal of Pharmacol. 1996, Vol. 279, No. 2, pages 956-966, see entire document, especially RN# 162793-63-7 and 187173-03-1.	1-67
x	Database HCAPLUS, DN 98:78152, CHUGAI PHARMACEUTICAL CO. LTD., JAPAN. 'Antitumor benzophenone derivatives.' Abstract, JP 57185219. 15 November 1982, see entire document, especially RN# 3086-71-4.	1-67
Ą	Database HCAPLUS, DN 72:79046, HIRT et al. 'Tuberculostatic and cancerstatic polybasic ureas.' Abstract, CH 479557. 15 October 1969, see entire document, especially RN# 5262-16-8.	1-67
X,P	Database HCAPLUS, DN 131:58658, MILLER et al. 'Inhibition of raf kinase using symmetrical and unsymmetrical substituted diphenyl ureas.' Abstract, WO 99/32436. 01 July 1999, see entire document, especially RN# 228399-32-4.	1-67
X /	Database HCAPLUS, DN 127:273945, DEARDEN et al. 'Quantitative structure-biodegradability studies: an investigation of the MITI aromatic compound database.' Nato ASI Srv. 1996, Vol. 23, pages 93-104, see entire document, especially RN# 24019-05-4.	1-48, 50 and 61
	Database HCAPLUS, DN 125:245169, BONWICK et al. 'Production of murine monoclonal antibodies against sulcofuron and flucofuran by in-vitro immunization.' Journal of Immunological Methods. 1996, Vol. 196, No. 2, pages 163-173. See entire document, especially RN# 24019-05-04.	1-67

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INTERNATIONAL SEARCH REPORT

national application No. PCT/US00/00768

	SIFICATION OF SUBJECT MATTER (61K 31/535, 31/50, 31/445, 31/44, 31/40, 31/34, 31/	17		
US CL : Please See Extra Sheet. According to International Patent Classification (IPC) or to both national classification and IPC				
	S SEARCHED			
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U.S. : 5	14/235.5, 237.2, 252.13, 253.01, 331, 345, 350, 416.	417, 428, 471	. 596. 597. 598	
Documentation	on searched other than minimum documentation to the exte	ent that such do	cuments are included in	the fields searched
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Please See	Extra Sheet.			·
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C. DOC	UMENTS CONSIDERED TO BE RELEVANT			Relevant to claim No.
Category*	Citation of document, with indication, where appr			Relevant to claim 170
X	WO 95/33458 A1 (SMITHKLINE BEEC December 1995 (14.12.95), see pages 1	HAM COR	RPORATION) 14 claims.	1-38
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	rther documents are listed in the continuation of Box C.	Se	e patent family annex.	
•	Special categories of cited documents	•T• later	and any in conflict with the a	international filing date or priority pplication but cited to understand
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·E'	document published on or after the international filing date	wher	the document is taken alone	
	document which may throw totals of granther citation or other special reason (as specified) document referring to an oral disclosure, use, exhibition or other	cons	According to company to covere	the claimed invention cannot be tive step when the document is such documents, such combination in the art
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International Application No PCT/US 02/12064

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07D213/75 C07D217/22

A61K31/44

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C07D401/12 A61P29/00

C07D215/38

C07D403/12

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 CO7D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	MUIJLWIJK-KOEZEN, J.E. ET. AL.: "Isoquinoline and Quinazoline Urea Analogues as Antagonists for the Human Adenosine A3 Receptor." JOURNAL OF MEDICINAL CHEMISTRY, vol. 43, no. 11, 2000, pages 2227-38, XP002147879 Table 1, compounds 8a, 8b, 8d	20,21, 25,28, 29,32,33
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Further documents are listed in the continuation of box C.	Patent family members are listed in annex.
Special categories of cited documents: A* document defining the general state of the art which is not considered to be of particular relevance E* earlier document but published on or after the international filing date L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) O* document referring to an oral disclosure, use, exhibition or other means P* document published prior to the international filing date but later than the priority date claimed	 "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. "&" document member of the same patent family
Date of the actual completion of the international search	Date of mailing of the international search report
13 September 2002	20/09/2002
Name and mailing address of the ISA	Authorized officer

European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016

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International Application No PCT/US 02/12064

C (C-stinue	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	· · · · · · · · · · · · · · · · · · ·		
Category °	Citation of document, with indication, where appropriate, of the relevant passages		Relevant to claim No.	
X	CARLING, R.W. ET. AL.: "1-(3-Cyanobenzylpiperidin-4-yl)-5-methyl- 4-phenyl 1,3-dihydroimidazol-2-one: A Selective High Affinity Antagonist for the Human Dopamine D4 Receptor with Excellent Selectivity over Ion Channels" JOURNAL OF MEDICINAL CHEMISTRY, vol. 42, no. 14, 1999, pages 2706-15, XP001093697 Table 2, compound 7		20,21,28	
X	US 4 279 639 A (OKAMOTO ET. AL.) 21 July 1981 (1981-07-21) examples 5-8		20,22	
X	WO 99 32463 A (BAYER CORPORATION) 1 July 1999 (1999-07-01)	·	1,2,6,7, 9,11-15, 28,29,32	
Y	page 4, line 28 -page 7, line 17; claims		1-39	
X	WO 00 41698 A (BAYER CORPORATION) 20 July 2000 (2000-07-20)		1,2,6,7, 11-15, 28,29,32	٠
Y	Table 3, compound no. 9 page 6, line 29 -page 8, line 9		1-39	
Α	DUMAS, J. ET. AL.: "1-Phenyl-5-pyrazolyl Ureas: Potent ans Selective p38 Kinase Inhibitors." BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS, vol. 10, 2000, pages 2051-4, XP004208309 tables 1-3		1-39	
Α	WO 99 32111 A (BAYER CORPORATION) 1 July 1999 (1999-07-01) page 5, line 15 -page 6, line 9; claims; examples		1-39	
P,Y	WO 01 36403 A (BOEHRINGER INGELHEIM PHARMACEUTICALS INC.) 25 May 2001 (2001-05-25) claims; examples		1-39	
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A. CLASSIFICATION OF SUBJECT MATTER 1PC 7 CO7D213/40 CO7D401/12 A61K31/4725 A61K31/47

C07D215/38 A61P43/00

A61K31/44

A61K31/4709

According to International Patent Classification (IPC) or to both national classification and IPC

Minimum documentation searched (classification system followed by classification symbols)

CO7D A61K IPC 7

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

BEILSTEIN Data, WPI Data, EPO-Internal, CHEM ABS Data, PAJ

DOCUME	NTS CONSIDERED TO BE RELEVANT	Relevant to claim No.
ategory °	NTS CONSIDERED TO BE MELLON, where appropriate, of the relevant passages Citation of document, with indication, where appropriate, of the relevant passages	
X Y	WO 99 32436 A (BAYER AG) 1 July 1999 (1999-07-01) see general definitions on pages 2-6, especially definitions of A, R4' and R5' and R3-6 as X-Y and examples.	1 1,2,4,5, 9-26
Y	WO 99 32106 A (BAYER AG) 1 July 1999 (1999-07-01) see description, pages 2-10 and examples	1,2,4,5, 9-26
Y	WO 00 42012 A (RIEDL BERND ; LOWINGER TIMOTHY B (JP); DUMAS JACQUES (US); RENICK J) 20 July 2000 (2000-07-20) see description, pages 2-6, examples and	1,2,4,5,
	see description, pages 2 o, and claims 7,8	
	Patent family members a	re listed in annex.

Y Further documents are listed in the continuation of box C.	Patent family members are listed in arries.
Special categories of cited documents: A document defining the general state of the art which is not considered to be of particular relevance E earlier document but published on or after the international filing date L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) O document referring to an oral disclosure, use, exhibition or other means P document published prior to the international filing date but later than the priority date claimed	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. "8" document member of the same patent family Date of mailing of the international search report
Date of the actual completion of the international search	- · · · · · · · · · · · · · · · · · · ·
13 September 2002	Authorized officer
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Scruton-Evans, I

C (Continu	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	
Category *	the relevant passages	Relevant to claim No.
Υ	WO 00 41698 A (RIEDL BERND ;LOWINGER TIMOTHY B (JP); DUMAS JACQUES (US); RENICK J) 20 July 2000 (2000-07-20) see description, pages 8-13 and claim 11	1,2,4,5, 9-26
E	US 2002/065296 A1 (RIEDL BERND ET AL) 30 May 2002 (2002-05-30) the whole document	1,2,4,5, 9-26
X	WO 94 18170 A (SMITHKLINE BEECHAM PLC; FORBES IAN THOMSON (GB); HAM PETER (GB); M) 18 August 1994 (1994-08-18) see formula I and examples.	1,3, 6-12,14, 18-27
x	US 5 596 001 A (HAMANAKA ERNEST S) 21 January 1997 (1997-01-21)	1,3, 6-12,14, 18-27
	see definitions of R4 and Q and examples	
X	WO 00 47577 A (COULTON STEVEN ; JOHNS AMANDA (GB); PORTER RODERICK ALAN (GB); SMIT) 17 August 2000 (2000-08-17) see definitions of R2-R6 and R7 and examples	1,3, 6-12,14, 18-27
E	WO 02 062763 A (RIEDL BERND ; LOWINGER TIMOTHY B (JP); DUMAS JACQUES (US); RENICK J) 15 August 2002 (2002-08-15) the whole document	1-27
A	WO 99 21835 A (OKU TERUO ;SATOH SHIGEKI (JP); INOUE TAKAYUKI (JP); URANO YASUHARU) 6 May 1999 (1999-05-06) see definitions of Y-R7	1,3, 6-12,14, 18-27
X	WO 99 24398 A (BRITTAIN DAVID ROBERT; JOHNSTONE CRAIG (GB); ZENECA LTD (GB)) 20 May 1999 (1999-05-20) see example 56 and gneral formula	1,2
P,X	WO 02 24635 A (HAMASHIMA HITOSHI; TANIGUCHI KIYOSHI (JP); WASHIZUKA KENICHI (JP);) 28 March 2002 (2002-03-28) see Table 10 and example 64	1,2

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